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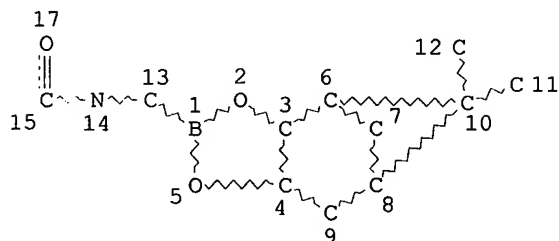
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FILE COVERS 1907 - 1 Apr 2005 VOL 142 ISS 15  
 FILE LAST UPDATED: 31 Mar 2005 (20050331/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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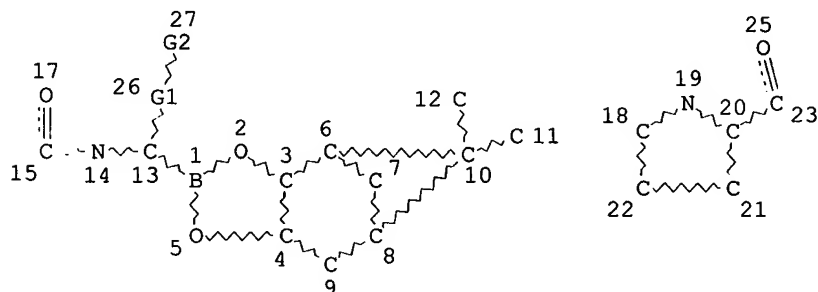
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STEREO ATTRIBUTES: NONE  
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DEFAULT ECLEVEL IS LIMITED

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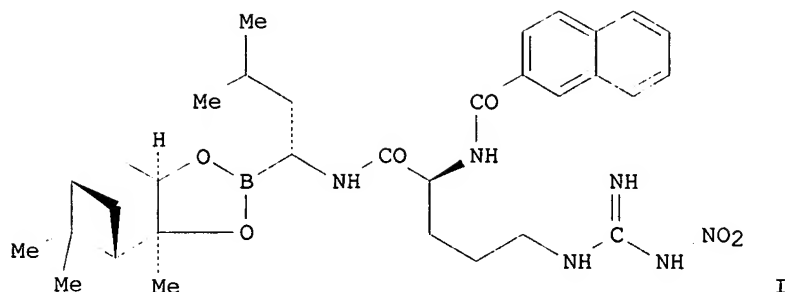
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L33 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2005:216826 HCAPLUS  
TITLE: Preparation of boronic acids and esters as proteasome  
inhibitors for inducing apoptosis and treating cancer  
INVENTOR(S): Bernareggi, Alberto; Cassara, Paolo G.; Chatterjee,  
Sankar; Ferretti, Edmondo; Iqbal, Mohamed; Menta,  
Ernesto; Messina McLaughlin, Patricia A.; Oliva,  
Ambrogio  
PATENT ASSIGNEE(S): Cephalon, Inc., USA; Sede Secondaria Della Cell  
Therapeutics, Inc.  
SOURCE: PCT Int. Appl., 328 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021558	A2	20050310	WO 2004-US26407	20040813
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PRIORITY APPLN. INFO.: US 2003-495764P P 20030814  
US 2004-918664 A 20040812

GI



AB The present invention provides boronic acid compds. and boronic esters (XNHCHR<sub>2</sub>C(O)NH((R)-CH(CH<sub>2</sub>R<sub>1</sub>)Q) (I); variables defined below; e.g. N-[(1S)-1-[[[(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]-3-methylbutyl]amino]carbonyl]-4-[[[(imino)(nitroamino)methyl]amino]butyl]naphthalen-2-carboxamide (shown as II)) and compns. thereof that can modulate apoptosis such as by inhibition of proteasome activity. Semiquant. IC<sub>50</sub> values for human erythrocyte proteasome and EC<sub>50</sub> values in the MOLT-4 cell line are tabulated for a large number of I. The compds. and compns. can be used in methods of inducing apoptosis and treating diseases such as cancer and other disorders associated directly or indirectly with proteasome activity. For I: R<sub>1</sub> is C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>2</sub>-C<sub>8</sub> alkenyl, C<sub>2</sub>-C<sub>8</sub> alkynyl, or C<sub>3</sub>-C<sub>7</sub> cycloalkyl; R<sub>2</sub> is H, (CH<sub>2</sub>)<sub>a</sub>CH<sub>2</sub>NHC(:NR<sub>4</sub>)NH<sub>2</sub>, (CH<sub>2</sub>)<sub>b</sub>CH<sub>2</sub>CONR<sub>5</sub>R<sub>6</sub>, (CH<sub>2</sub>)<sub>c</sub>CH<sub>2</sub>N(R<sub>4</sub>)CONH<sub>2</sub>, (CH<sub>2</sub>)<sub>d</sub>CH(R<sub>7</sub>)NR<sub>9</sub>R<sub>10</sub>, or -(CH<sub>2</sub>)<sub>e</sub>CH(R<sub>7</sub>)ZR<sub>8</sub>; a, b, and c = 0-6; d and e = 0-4. Q is B(OH)<sub>2</sub>, B(OR<sub>14</sub>)<sub>2</sub>, or a cyclic boronic ester wherein said cyclic boronic ester contains 2-20 C atoms, and, optionally, a heteroatom which can be N, S, or O; X is RAC(O), RANHC(O), RAS(O)2-, RAOC(O)-, RASC(O)-, or RA; addnl. details including provisos are given in the claims. Methods of preparation of I and intermediates are claimed and many example preps. are included. For example, N-[(1S)-1-[[[(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]-3-methylbutyl]amino]carbonyl]-4-[[[(imino)(nitroamino)methyl]amino]butyl]decanamide was prepared in 72 % yield from decanoic acid in anhydrous DMF, HATU, HOAt, N-methylmorpholine and N-[(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]-3-methylbutyl]-2-amino-5-[[[(imino)(nitroamino)methyl]amino]pentanamide hydrochloride. The hydrochloride was prepared by 2 methods, e.g. 90 % from N-[(1S)-1-[[[(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]-3-methylbutyl]amino]carbonyl]-4-[[[(imino)(nitroamino)methyl]amino]butyl]carbamic acid 1,1-dimethylethyl ester and HCl in dioxane/Et<sub>2</sub>O. The above intermediate was also prepared by 2 methods, e.g. 66 % from BocNH(NO<sub>2</sub>)ArgOH in anhydrous DMF, HATU, HOAt, N-methylmorpholine and [(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]-3-methylbutyl]amine hydrochloride. This hydrochloride was prepared by 2 methods, e.g. 4 steps (94, 98, 100, 73%) starting from (+)-pinanediol and 2-methylpropylboronic acid and involving intermediates 2-(2-methylpropyl)-(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborole, 2-[(1S)-1-chloro-3-methylbutyl]-(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborole, and N,N-Bis(trimethylsilyl)-(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]-3-methylbutylamine.

IT 847496-58-6P 847496-74-6P 847498-76-4P  
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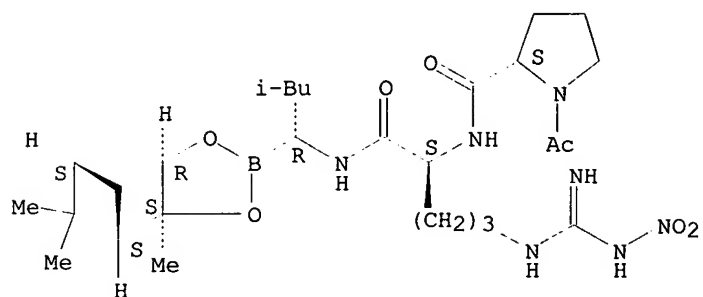
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(drug candidate; preparation of boronic acids and esters as proteasome inhibitors for inducing apoptosis and treating cancer)

RN 847496-58-6 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

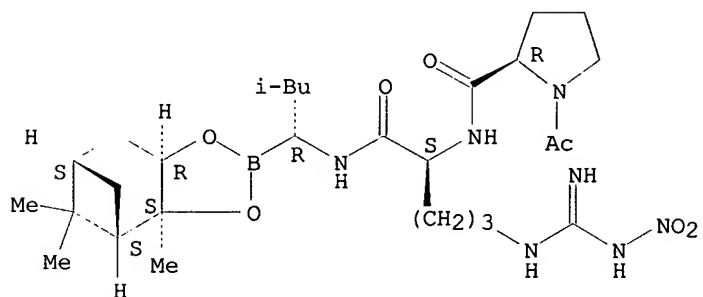
Absolute stereochemistry.



RN 847496-74-6 HCAPLUS

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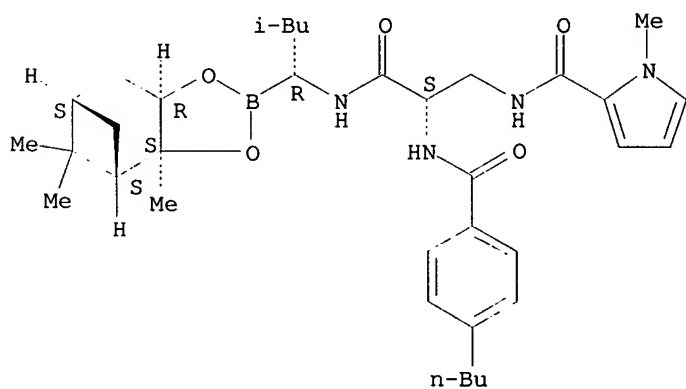
Absolute stereochemistry.



RN 847498-76-4 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

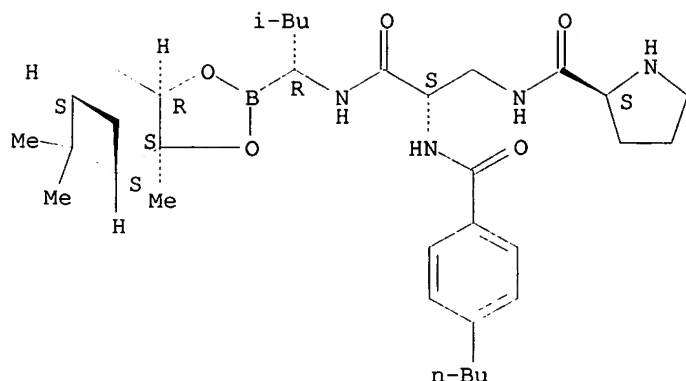
Absolute stereochemistry.



RN 847498-96-8 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

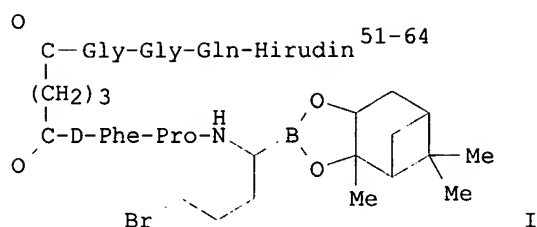
Absolute stereochemistry.



L33 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1998:55654 HCAPLUS  
 DOCUMENT NUMBER: 128:128288  
 TITLE: Preparation of bifunctional boron-containing peptides as thrombin inhibitors  
 INVENTOR(S): Deadman, John Joseph; Elgendy, Said; Green, Donovan; Skordalakes, Emmanuel; Scully, Michael Finbarr; Goodwin, Christopher Andrew; Kakkar, Vijay Vir  
 PATENT ASSIGNEE(S): Thrombosis Research Institute, UK  
 SOURCE: PCT Int. Appl., 75 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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EP 910583	A1	19990428	EP 1997-925198	19970611
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JP 2000516203	T2	20001205	JP 1998-503898	19970611
PRIORITY APPLN. INFO.:				
			GB 1996-13718	A 19960629
			WO 1997-GB1575	W 19970611

GI



AB Bifunctional peptide thrombin inhibitors and methods of manufacture are provided. The inhibitors have an anion-binding exosite associating moiety joined to a catalytic site-directed moiety. A spacer peptide and nonpeptide linker moiety enable both the anion-binding exosite associating moiety and the catalytic site directed moiety to bind simultaneously to a thrombin mol. thereby permitting the treatment of thrombosis. Thus, boron-containing peptide conjugate I was prepared by standard solid-phase methods using 9-fluorenylmethoxycarbonyl (Fmoc) chemical to assemble the hirudin segment, followed by amidation with glutaric anhydride, and peptide coupling with the boron-containing tripeptide segment. I inhibited human  $\alpha$ -thrombin with  $K_i = 0.000649 \mu\text{M}$  in an in vitro assay.

IT 202058-68-2P 202058-69-3P 202058-70-6P

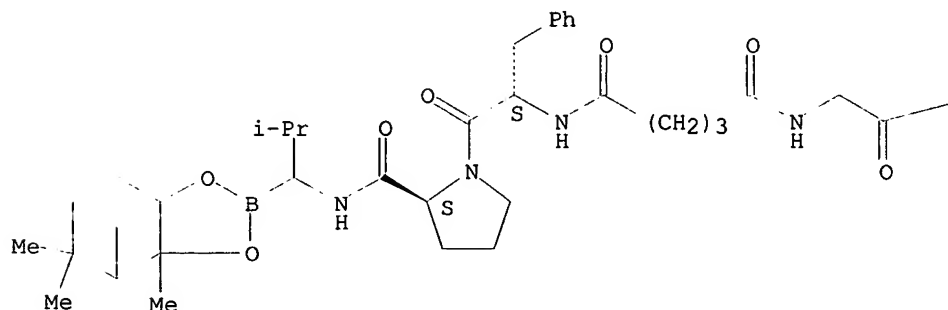
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(preparation of bifunctional boron-containing peptides as thrombin inhibitors)

RN 202058-68-2 HCAPLUS

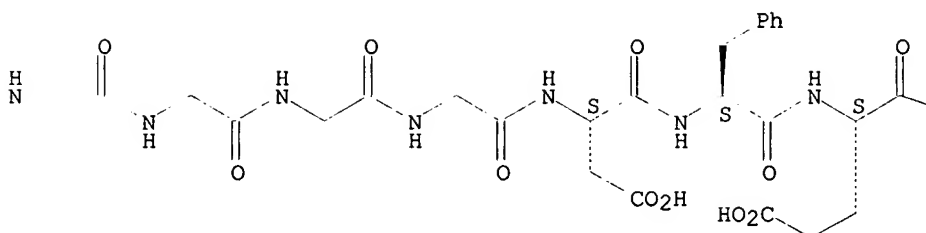
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Absolute stereochemistry.

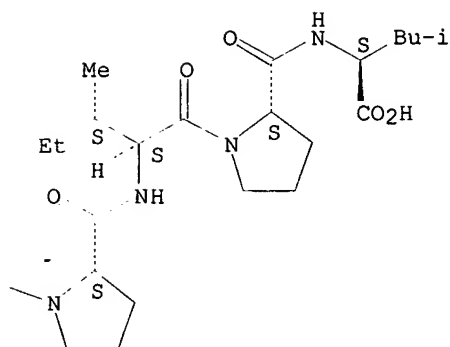
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PAGE 1-C

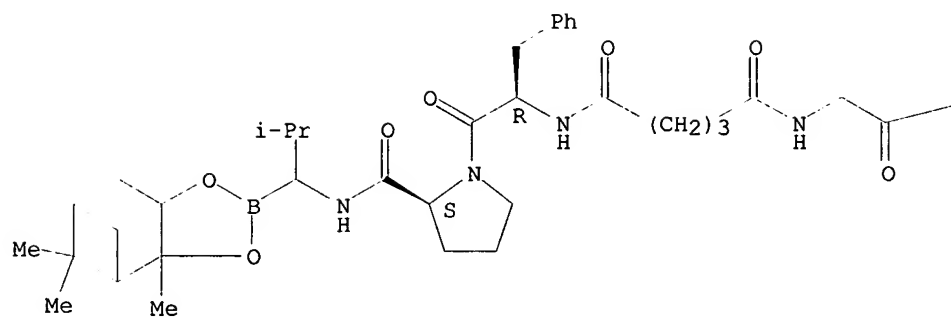


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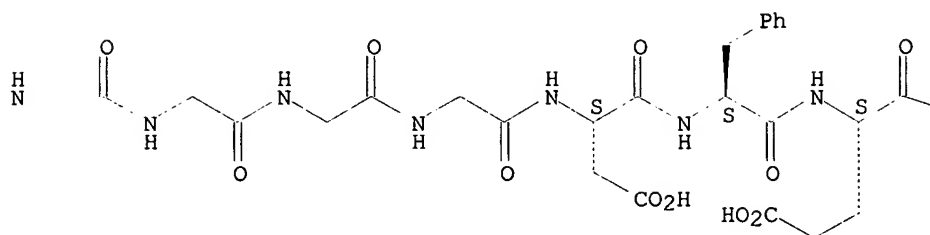
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prolyl-, (1→1')-amide with D-phenylalanyl-N-[1-(hexahydro-3a,5,5-  
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prolinamide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

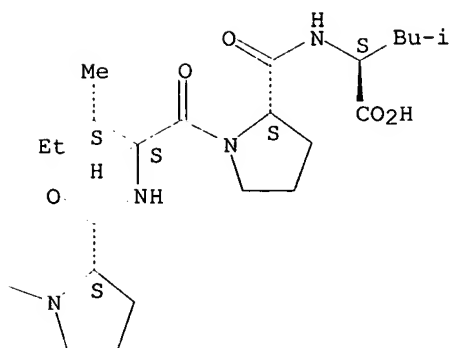
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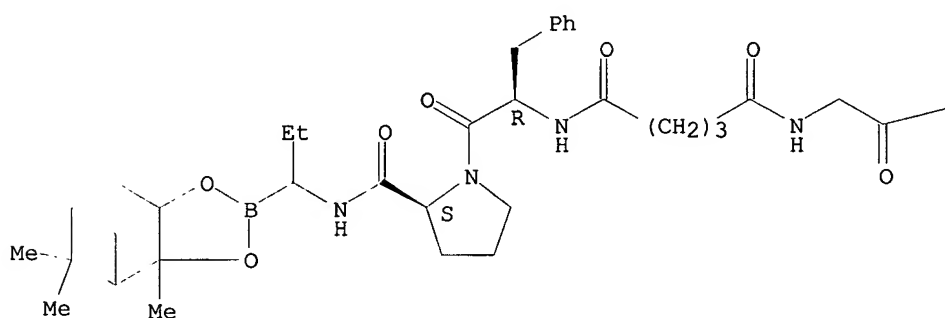




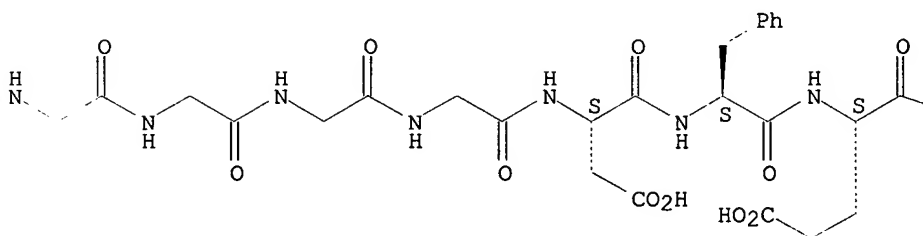
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 prolyl-, (1 $\rightarrow$ 1')-amide with D-phenylalanyl-N-[1-(hexahydro-3a,5,5-  
 trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl)propyl]-L-prolinamide  
 (9CI) (CA INDEX NAME)

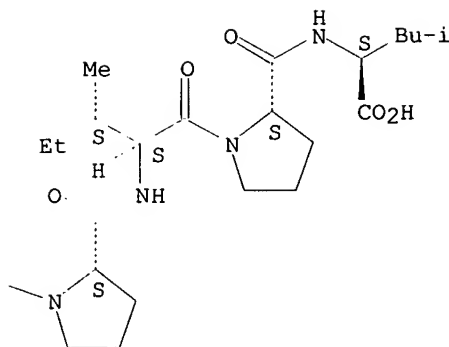
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:55653 HCAPLUS

DOCUMENT NUMBER: 128:128287

TITLE: Preparation of bifunctional boron-containing peptides as serine protease inhibitors

INVENTOR(S): Deadman, John Joseph; Elgendy, Said; Green, Donovan; Skordalakes, Emmanuel; Scully, Michael Finbarr; Goodwin, Christopher Andrew; Kakkar, Vijay Vir

PATENT ASSIGNEE(S): Thrombosis Research Institute, UK

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

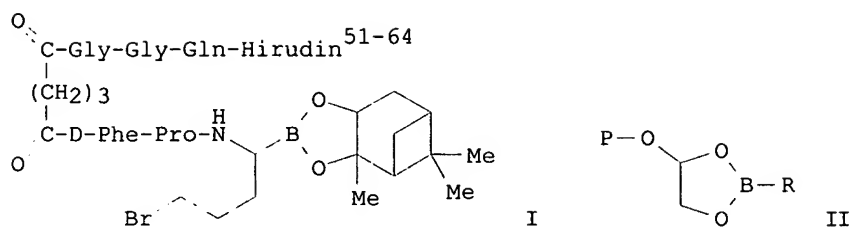
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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AU 9730425	A1	19980121	AU 1997-30425	19970611
AU 729393	B2	20010201		
CN 1223664	A	19990721	CN 1997-195991	19970611
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MX 9810854	A	20000531	MX 1998-10854	19981216
KR 2000022350	A	20000425	KR 1998-710776	19981229
PRIORITY APPLN. INFO.:			GB 1996-13719	A 19960629
			WO 1997-GB1574	W 19970611

GI



AB Bifunctional serine protease inhibitors and methods of preparing boron-containing peptides are provided. The serine protease inhibitors comprise a catalytic site-directed moiety, which binds to and inhibits the active site of a serine protease, and an exosite associating moiety, which are joined by a connector moiety. The catalytic site directed moiety and the exosite associating moiety are capable of binding simultaneously to a mol. of the serine protease. Thus, boron-containing peptide conjugate I was prepared by standard solid-phase methods using 9-fluorenylmethoxycarbonyl (Fmoc) chemical to assemble the hirudin segment, followed by amidation with glutaric anhydride, and peptide coupling with the boron-containing tripeptide segment. I inhibited human  $\alpha$ -thrombin with  $K_i = 0.000649 \mu\text{M}$  in an in vitro assay. A novel solid-phase method for producing terminal boron-containing peptide analogs using resin-bound boradioxolane II (R = bora-amino acid residue; P = polymer support) is presented.

IT 202058-68-2P 202058-69-3P 202058-70-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

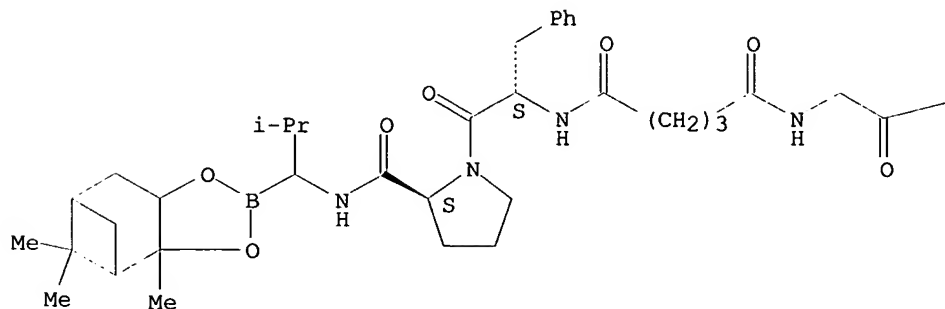
(preparation of bifunctional boron-containing peptides as serine protease inhibitors)

RN 202058-68-2 HCAPLUS

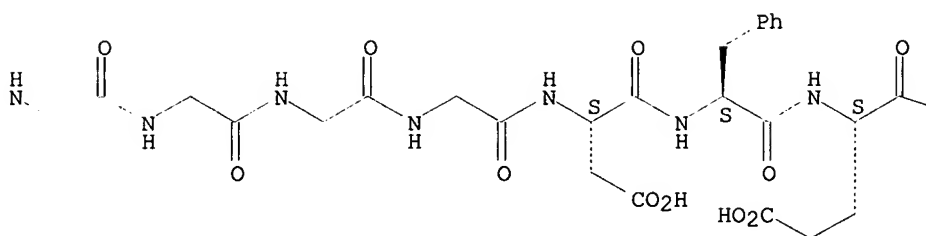
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Absolute stereochemistry.

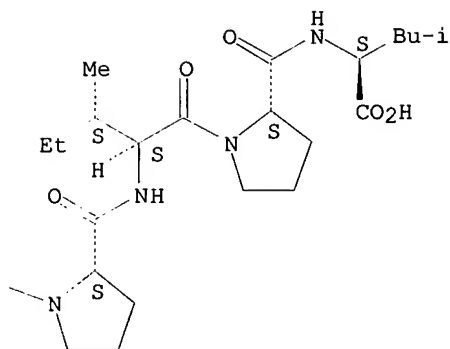
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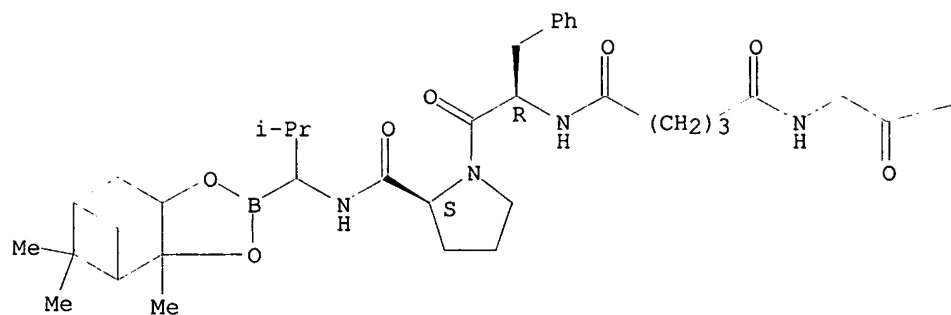
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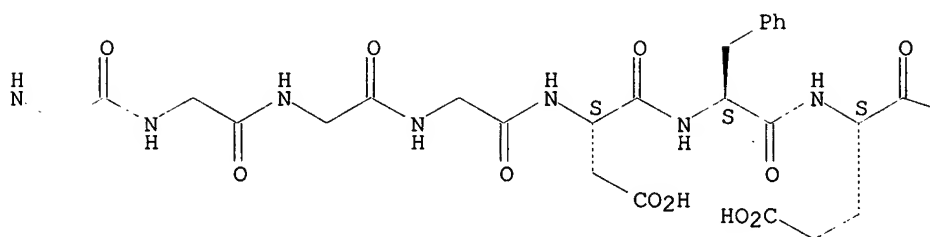
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 prolyl-, (1→1')-amide with D-phenylalanyl-N-[1-(hexahydro-3a,5,5-  
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Absolute stereochemistry.

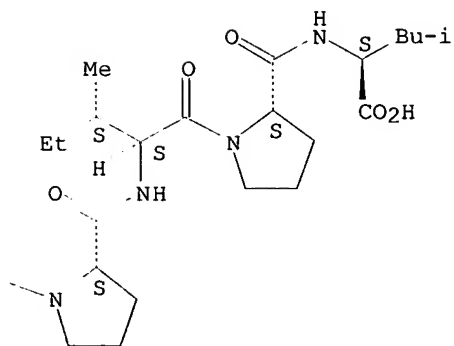
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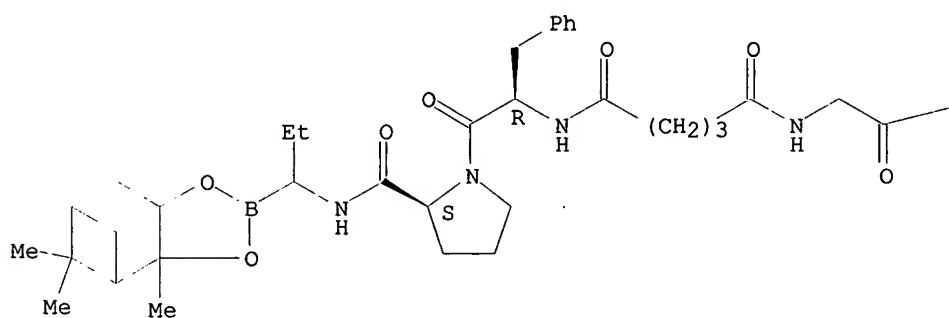


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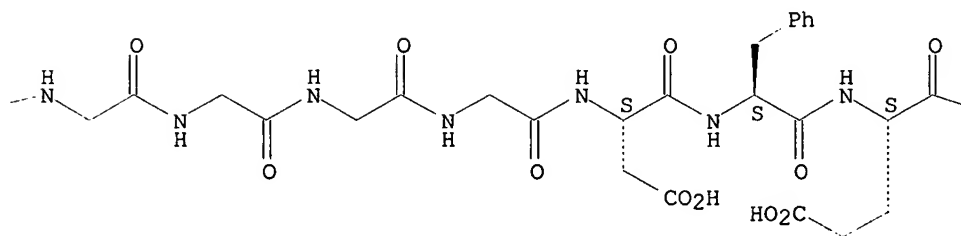
CN L-Leucine, N-(4-carboxy-1-oxobutyl)glycylglycylglycylglycylglycyl-L- $\alpha$ -aspartyl-L-phenylalanyl-L- $\alpha$ -glutamyl-L-prolyl-L-isoleucyl-L-prolyl-, (1 $\rightarrow$ 1')-amide with D-phenylalanyl-N-[1-(hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl)propyl]-L-prolinamide (9CI) (CA INDEX NAME)

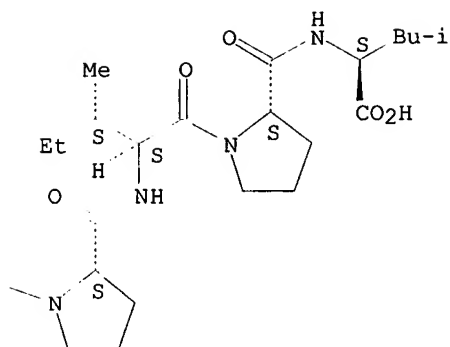
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





REFERENCE COUNT:

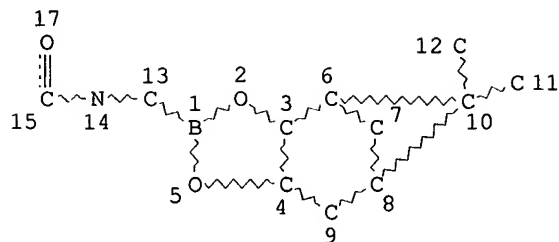
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THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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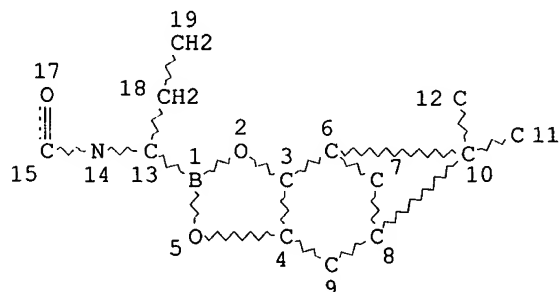
=> d stat que l40  
L21 STR



NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE  
L23 2970 SEA FILE=REGISTRY SSS FUL L21  
L34 922 SEA FILE=REGISTRY ABB=ON PLU=ON DEVVP/SQSP  
L36 STR



NODE ATTRIBUTES:  
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE  
L37 1753 SEA FILE=REGISTRY SUB=L23 SSS FUL L36  
L38 75 SEA FILE=REGISTRY ABB=ON PLU=ON L34 AND L37  
L40 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L38

=>

=>

=> d ibib abs hitrn l40 1-3

L40 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2002:907216 HCAPLUS  
DOCUMENT NUMBER: 138:4821  
TITLE: Preparation of peptide inhibitors of hepatitis C virus  
NS3 protein

APP 8



INVENTOR(S): Priestley, E. Scott  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 54 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002177725	A1	20021128	US 2001-39317	20011023
US <u>6846806</u>	B2	20050125		
US 2004147483	A1	20040729	US 2004-759725	20040115
PRIORITY APPLN. INFO.:			US 2000-242557P	P <u>20001023</u> pp
			US 2001-39317	A3 20011028

OTHER SOURCE(S): MARPAT 138:4821

AB The invention relates to a novel class of peptides R3-A-N(R2)CHR1-W [W = B(OH)2 or a derivative, COCO-Q, COCONH-Q, COCO2-Q, COCF2CONH-Q, COCF3, COCF2CF3, or CHO, where Q is an amino acid residue or an alkyl, alkenyl, or alkynyl radical substituted by CO2H, SO2H, SO3H, PO2H, PO3H (or their esters), etc.; A is a (di- through hepta)peptide residue; R1 = R1a(CH2)2-6 (R1a = substituted phenyl), BuCH2, BuCH2CH2, Me3C(CH2)3, Et2CH(CH2)3, or 3-cyclobutylpropyl; R2 = H, alkyl, aryl, arylalkyl, or cycloalkyl; R3 = H, alkyl, aryl, arylalkyl, COR11, CO2R11, CONHR11, SOR11, SO2R11 (R11 = alkyl, aryl, or heterocyclyl which may be substituted), or an NH2-blocking group] which are useful as serine protease inhibitors, more particularly as hepatitis C virus (HCV) NS3 protease inhibitors. Thus, H-Asp-Glu-Val-Val-Pro-(R)-amino(phenyl)methylboronic acid (+)-pinanediol ester was prepared by solution phase chemical Compds. of the invention were found to exhibit a Ki of  $\leq 50 \mu\text{M}$ , thereby confirming their utility as effective HCV NS3 protease inhibitors.

IT 476333-93-4P 476333-94-5P 476333-95-6P  
 476333-96-7P 476333-97-8P 476333-98-9P  
 476333-99-0P 476334-00-6P 476334-01-7P  
 476334-02-8P 476334-03-9P 476334-04-0P  
 476334-05-1P 476334-06-2P 476334-07-3P  
 476334-08-4P 476334-10-8P 476334-11-9P  
 476334-12-0P 476334-13-1P 476334-14-2P  
 476334-15-3P 476334-16-4P 476334-17-5P  
 476334-25-5P 476334-26-6P 476334-27-7P  
 476334-28-8P 476334-29-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide inhibitors of hepatitis C virus NS3 protein)

IT 476334-34-6P 476334-38-0P 476334-42-6P  
 476334-46-0P 476334-77-7P 476335-12-3P  
 476335-16-7P 476335-17-8P 476335-20-3P  
 476335-24-7P 476335-28-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptide inhibitors of hepatitis C virus NS3 protein)

L40 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:767330 HCAPLUS

DOCUMENT NUMBER: 138:221813

TITLE: P1 Phenethyl peptide boronic acid inhibitors of HCV NS3 protease

AUTHOR(S): Priestley, E. Scott; De Lucca, Indawati; Ghavimi, Bahman; Erickson-Viitanen, Susan; Decicco, Carl P.  
 CORPORATE SOURCE: Experimental Station, Bristol-Myers Squibb Pharmaceutical Research Institute, Wilmington, DE, 19880-0500, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(21), 3199-3202

PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB A series of peptide boronic acids containing extended, hydrophobic P1 residues was prepared to probe the shallow, hydrophobic S1 region of HCV NS3 protease. The p-trifluoromethylphenethyl P1 substituent was identified as optimal with respect to inhibitor potency for NS3 and selectivity against elastase and chymotrypsin.

IT 500763-17-7P 500763-19-9P 500763-21-3P  
 500763-23-5P 500763-25-7P 500763-27-9P  
 500763-29-1P 500763-31-5P 500763-33-7P  
 500763-35-9P 500763-37-1P 500763-39-3P  
 500763-42-8P 500763-44-0P 500763-46-2P  
 500763-48-4P 500763-50-8P 500763-52-0P  
 500763-53-1P 500763-55-3P 500763-57-5P  
 500763-59-7P 500763-61-1P 500763-63-3P  
 500763-65-5P 500763-67-7P 500763-69-9P  
 500763-71-3P 500763-73-5P 500763-74-6P  
 500763-75-7P 500763-76-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of P1 phenethyl peptide boronic acid inhibitors of HCV NS3 protease)

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:31525 HCAPLUS

DOCUMENT NUMBER: 134:101193

TITLE: Preparation of peptide boronic acid inhibitors of hepatitis C virus protease

INVENTOR(S): Kettner, Charles A.; Jagannathan, Sharada; Forsyth, Timothy Patrick

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 258 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002424	A2	20010111	WO 2000-US18655	20000707
WO 2001002424	A3	20010719		
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2376965	AA	20010111	CA 2000-2376965	20000707
AU 2000057888	A5	20010122	AU 2000-57888	20000707
EP 1196436	A2	20020417	EP 2000-943413	20000707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-142561P P 19990707  
 WO 2000-US18655 W 20000707

OTHER SOURCE(S): MARPAT 134:101193

AB  $\alpha$ -Aminoboronic acids and corresponding peptide analogs  
 R3-A-NR2CHR1BY1Y2 [Y1, Y2 = OH, F, an amino group, alkoxy or BY1Y2 is a cyclic boron ester, amide or amide-ester; R1 = CH:CH2, CH2CH:CH2, CH:CHCH3, C.tplbond.CH, C.tplbond.CCH3, CH2C.tplbond.CH, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclobutylmethyl, mercaptoalkyl, alkylthioalkyl, etc.; A is a bond, a natural or unnatural amino acid residue, or a peptide residue comprising 2-10 amino acids; R2 = H, alkyl,

*8 Date as given*

*- All 3 US's from  
Abandoned*

aryl, arylalkyl, cycloalkyl; R3 = H, alkanoyl, alkyl, alkenyl, alkynyl, aryl, carbalkoxy, alkylsulfinyl, alkylsulfonyl, carbamoyl, etc.] were prepared for the treatment of hepatitis C viral infections. Thus, Boc-Asp(OBu-t)-Glu(OBu-t)-Val-Val-Pro-boroCpa-OH pinanediol ester (Boc = tert-butoxycarbonyl, boroCpa is L-2-amino-3-cyclopropylboronic acid residue) was prepared by standard methods of peptide coupling in solution Enzyme assays, dosages and formulations are discussed.

IT 319010-27-0P 319010-29-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of peptide boronic acid inhibitors of hepatitis C virus protease)

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=> d hitstr 140 3

L40 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

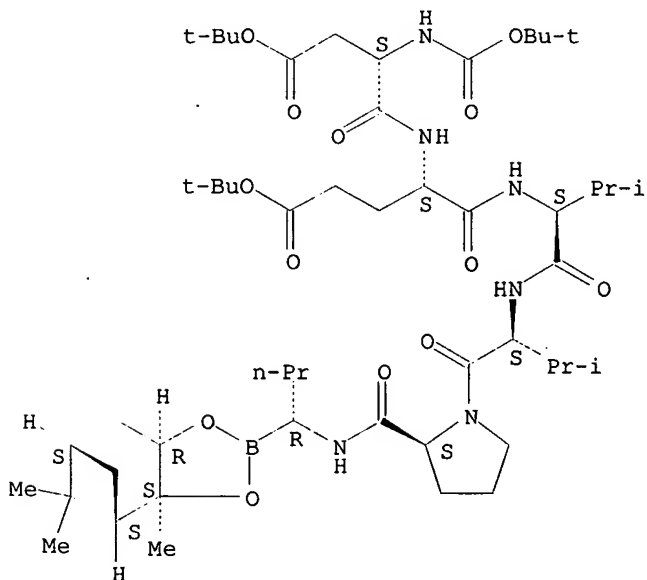
IT 319010-27-0P 319010-29-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of peptide boronic acid inhibitors of hepatitis C virus protease)

RN 319010-27-0 HCAPLUS

CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L- $\alpha$ -aspartyl-L- $\alpha$ -glutamyl-L-valyl-L-valyl-N-[(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]butyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

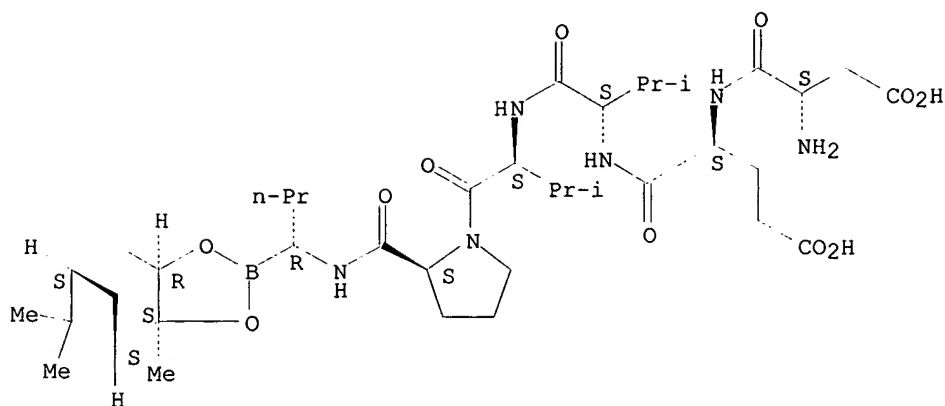


RN 319010-29-2 HCAPLUS

CN L-Prolinamide, L- $\alpha$ -aspartyl-L- $\alpha$ -glutamyl-L-valyl-L-valyl-N-[(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

6



● HCl

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E1 THROUGH E72 ASSIGNED

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FILE 'REGISTRY' ENTERED AT 11:26:16 ON 01 APR 2005  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 31 MAR 2005 HIGHEST RN 847735-80-2  
DICTIONARY FILE UPDATES: 31 MAR 2005 HIGHEST RN 847735-80-2

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

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\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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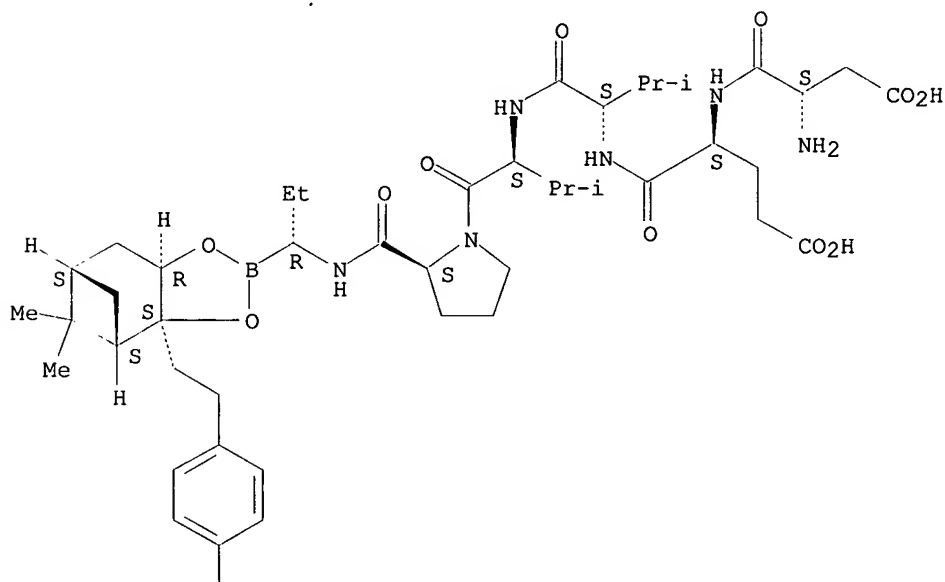
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ED Entered STN: 27 Mar 2003  
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[(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-5,5-dimethyl-3a-[2-(4-  
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(CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C50 H71 B N6 O12  
SR CA  
LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

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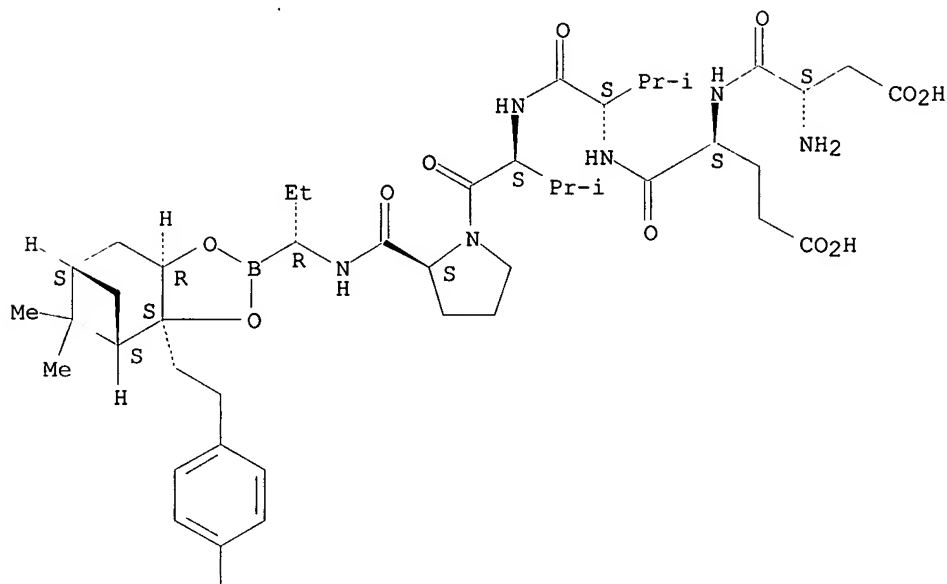
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RN 500763-71-3 REGISTRY  
ED Entered STN: 27 Mar 2003  
CN L-Prolinamide, L- $\alpha$ -aspartyl-L- $\alpha$ -glutamyl-L-valyl-L-valyl-N-  
[(1R)-1-[(3aS,4S,6S,7aR)-3a-[2-(4-cyclohexylphenyl)ethyl]hexahydro-5,5-  
dimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]propyl]- (9CI) (CA INDEX

NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
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 LC STN Files: CA, CAPLUS

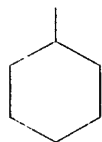
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



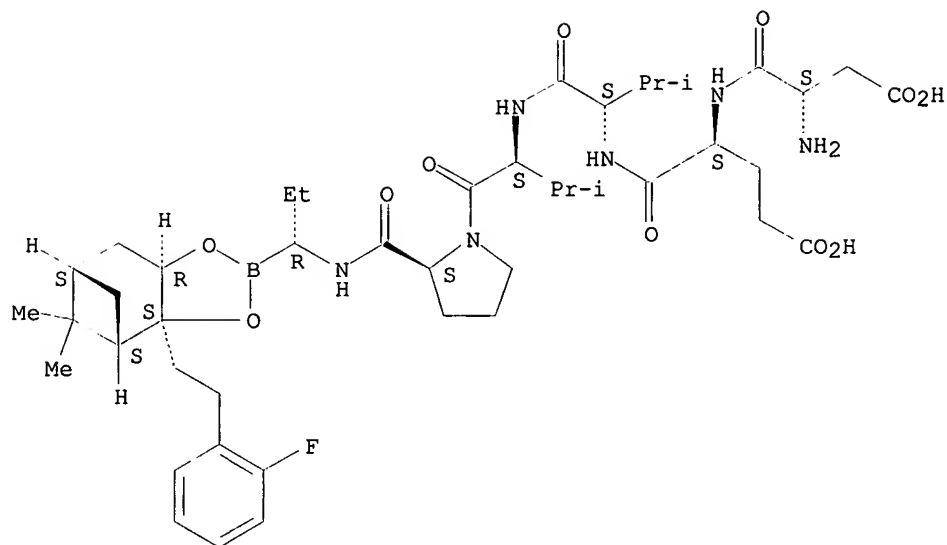
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 RN 500763-52-0 REGISTRY  
 ED Entered STN: 27 Mar 2003  
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 dimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]propyl]- (9CI) (CA INDEX  
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 FS PROTEIN SEQUENCE; STEREOSEARCH  
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 SR CA  
 LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



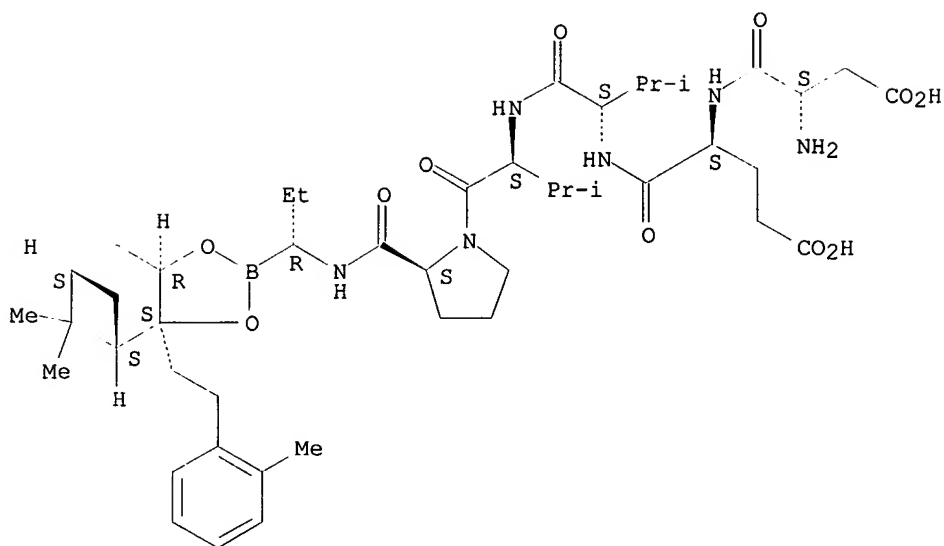
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L41 ANSWER 20 OF 72 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 500763-42-8 REGISTRY  
ED Entered STN: 27 Mar 2003  
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methylphenyl)ethyl]-4,6-methano-1,3,2-benzodioxaborol-2-yl]propyl]- (9CI)  
(CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
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SR CA  
LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



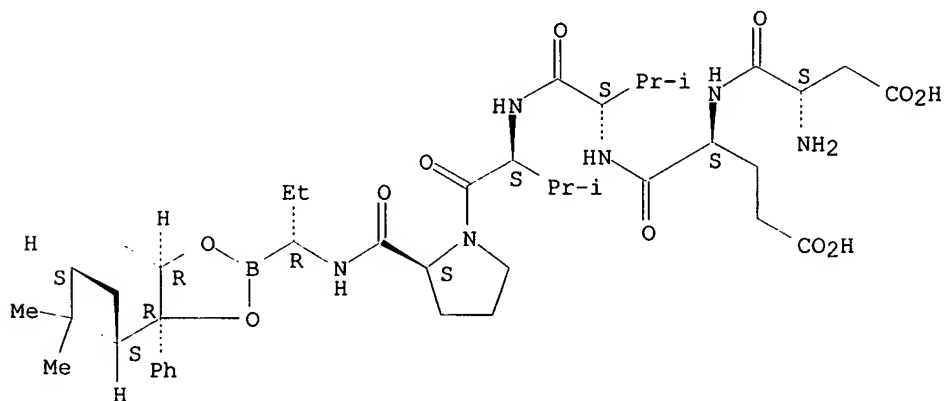
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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:221813

L41 ANSWER 25 OF 72 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 500763-31-5 REGISTRY  
ED Entered STN: 27 Mar 2003  
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[(1R)-1-[(3aR,4S,6S,7aR)-hexahydro-5,5-dimethyl-3a-phenyl-4,6-methano-  
1,3,2-benzodioxaborol-2-yl]propyl]- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C42 H63 B N6 O11  
SR CA  
LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

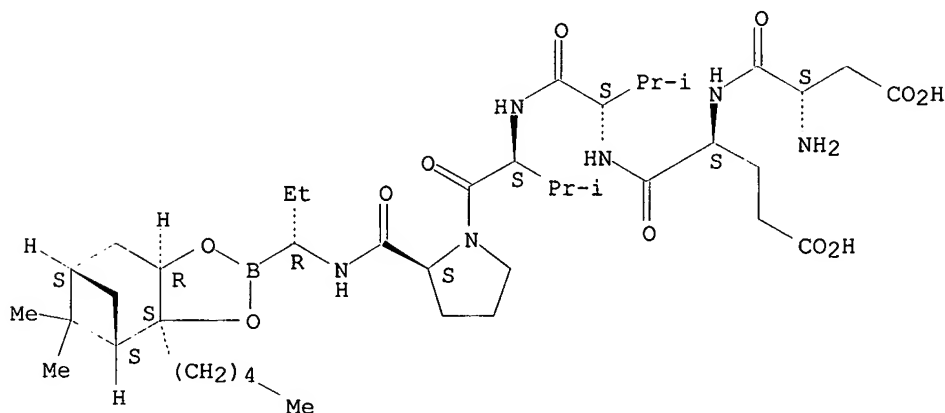


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L41 ANSWER 30 OF 72 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 500763-21-3 REGISTRY  
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 1,3,2-benzodioxaborol-2-yl]propyl]- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
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\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



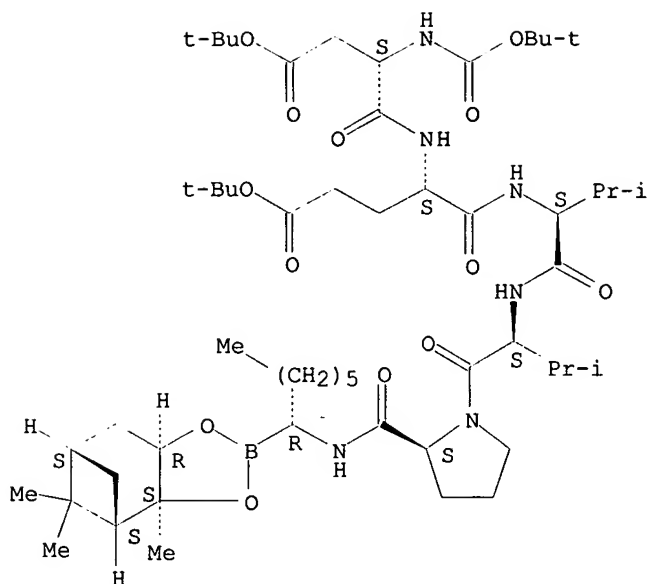
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REFERENCE 1: 138:221813

L41 ANSWER 35 OF 72 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 476335-20-3 REGISTRY  
 ED Entered STN: 16 Dec 2002  
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 $\alpha$ -glutamyl-L-valyl-L-valyl-N-[(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-  
 3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]heptyl]-,  
 bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C54 H93 B N6 O13  
 SR CA  
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

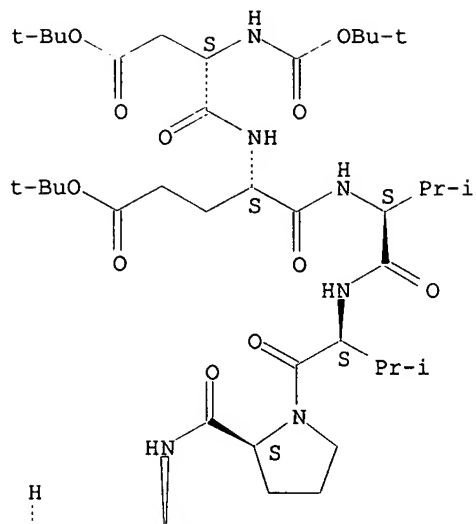
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L41 ANSWER 40 OF 72 REGISTRY COPYRIGHT 2005 ACS on STN  
RN **476334-46-0** REGISTRY  
ED Entered STN: 16 Dec 2002  
CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L- $\alpha$ -aspartyl-L- $\alpha$ -glutamyl-L-valyl-L-valyl-N-[(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]-3-(2-naphthalenyl)propyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)  
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MF C60 H91 B N6 O13  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

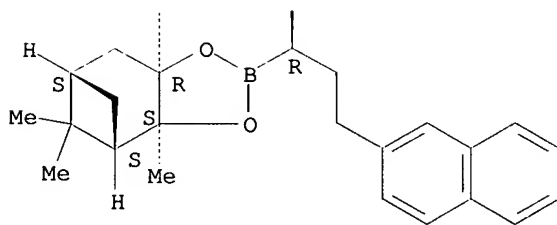
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Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

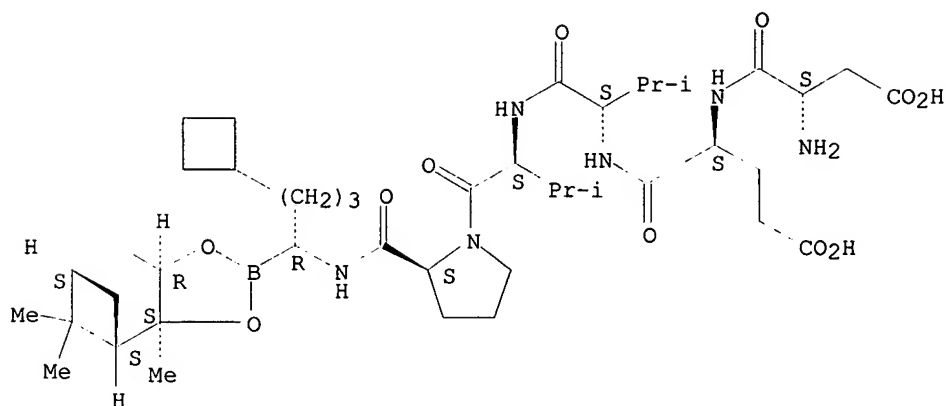
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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:4821

L41 ANSWER 45 OF 72 REGISTRY COPYRIGHT 2005 ACS on STN  
RN **476334-28-8** REGISTRY  
ED Entered STN: 16 Dec 2002  
CN L-Prolinamide, L- $\alpha$ -aspartyl-L- $\alpha$ -glutamyl-L-valyl-L-valyl-N-  
[(1R)-4-cyclobutyl-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-  
methano-1,3,2-benzodioxaborol-2-yl]butyl]- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C42 H69 B N6 O11  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

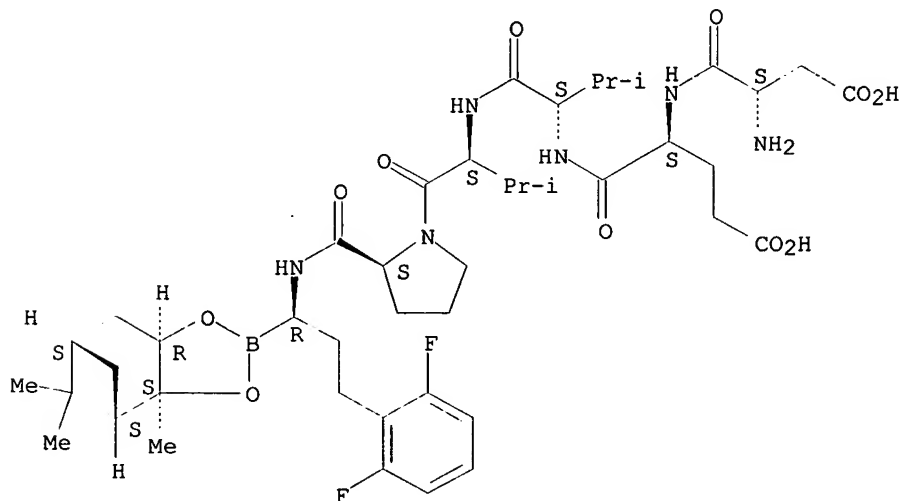
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:4821

L41 ANSWER 50 OF 72 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 476334-16-4 REGISTRY  
ED Entered STN: 16 Dec 2002  
CN L-Prolinamide, L- $\alpha$ -aspartyl-L- $\alpha$ -glutamyl-L-valyl-L-valyl-N-  
[(1R)-3-(2,6-difluorophenyl)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-  
4,6-methano-1,3,2-benzodioxaborol-2-yl]propyl]- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C43 H63 B F2 N6 O11  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



**\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\***

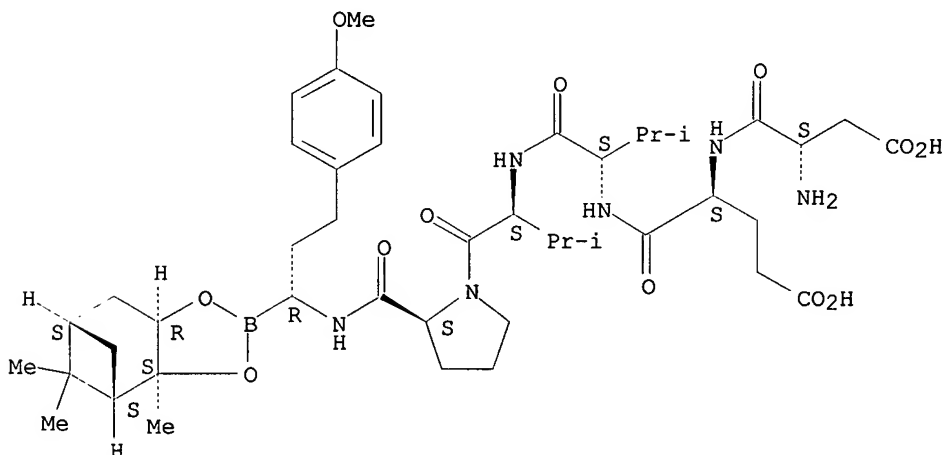
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:4821

L41 ANSWER 55 OF 72 REGISTRY COPYRIGHT 2005 ACS on STN  
RN **476334-11-9** REGISTRY  
ED Entered STN: 16 Dec 2002  
CN L-Prolinamide, L- $\alpha$ -aspartyl-L- $\alpha$ -glutamyl-L-valyl-L-valyl-N-  
[(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-  
benzodioxaborol-2-yl]-3-(4-methoxyphenyl)propyl]- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C44 H67 B N6 O12  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

**\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\***

Absolute stereochemistry.



**\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\***

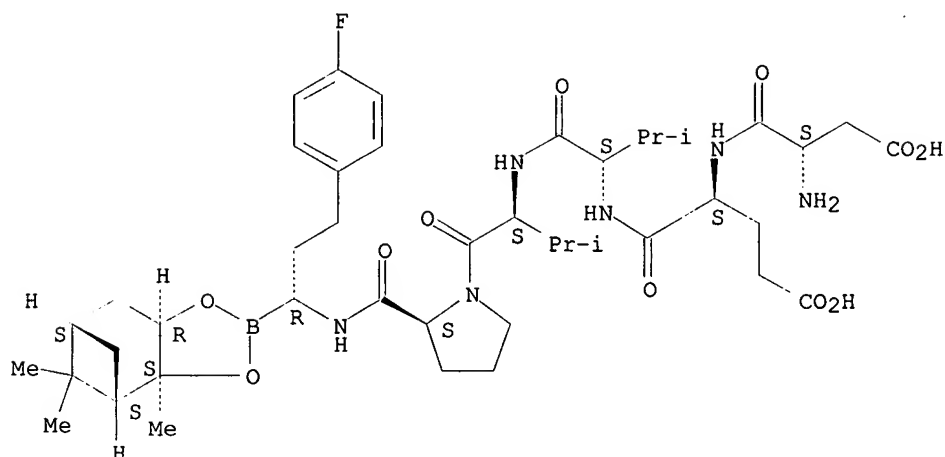
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:4821

L41 ANSWER 60 OF 72 REGISTRY COPYRIGHT 2005 ACS on STN  
RN **476334-05-1** REGISTRY  
ED Entered STN: 16 Dec 2002  
CN L-Prolinamide, L- $\alpha$ -aspartyl-L- $\alpha$ -glutamyl-L-valyl-L-valyl-N-  
[(1R)-3-(4-fluorophenyl)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-  
methano-1,3,2-benzodioxaborol-2-yl]propyl]- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C43 H64 B F N6 O11  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

**\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\***

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

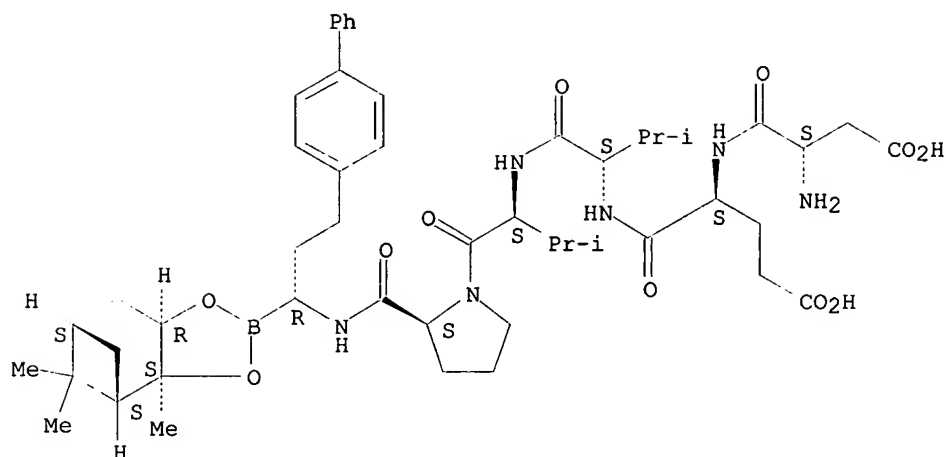
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:4821

L41 ANSWER 65 OF 72 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 476334-00-6 REGISTRY  
ED Entered STN: 16 Dec 2002  
CN L-Prolinamide, L- $\alpha$ -aspartyl-L- $\alpha$ -glutamyl-L-valyl-L-valyl-N-  
[(1R)-3-[1,1'-biphenyl]-4-yl-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-  
4,6-methano-1,3,2-benzodioxaborol-2-yl]propyl]- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C49 H69 B N6 O11  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

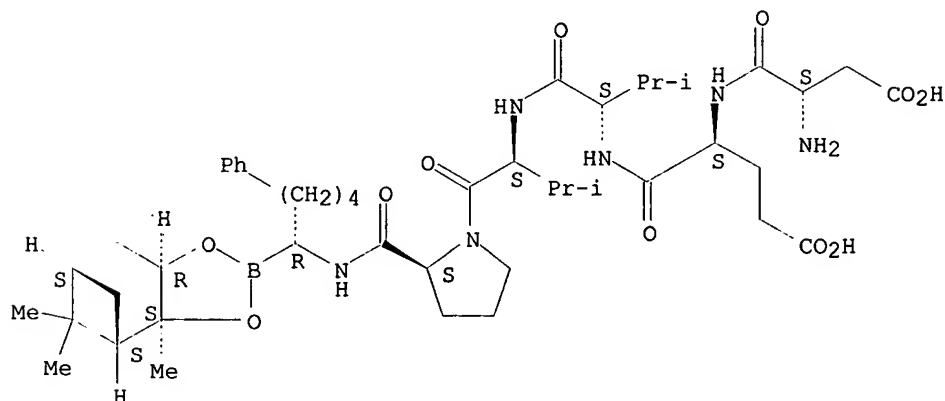
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:4821

L41 ANSWER 70 OF 72 REGISTRY COPYRIGHT 2005 ACS on STN  
RN **476333-95-6** REGISTRY  
ED Entered STN: 16 Dec 2002  
CN L-Prolinamide, L- $\alpha$ -aspartyl-L- $\alpha$ -glutamyl-L-valyl-L-valyl-N-  
[(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-  
benzodioxaborol-2-yl]-5-phenylpentyl]- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C45 H69 B N6 O11  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

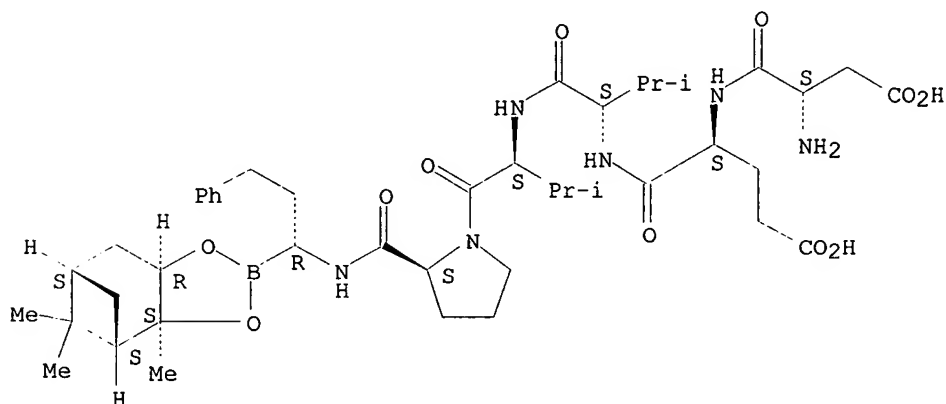
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:4821

L41 ANSWER 72 OF 72 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 476333-93-4 REGISTRY  
ED Entered STN: 16 Dec 2002  
CN L-Prolinamide, L- $\alpha$ -aspartyl-L- $\alpha$ -glutamyl-L-valyl-L-valyl-N-  
[(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-  
benzodioxaborol-2-yl]-3-phenylpropyl]- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C43 H65 B N6 O11  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:4821

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